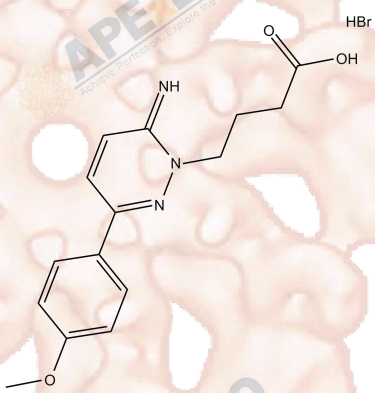
Product Data Sheet

SR 95531 (Hydrobromide)

Cat. No.:	B6663
CAS No.:	104104-50-9
Formula:	C15H17N3O3 • HBr
M.Wt:	368.23
Synonyms:	Gabazine
Target:	GABA receptor
Pathway:	Membrane Transporter/Ion Channel; Neuroscience
Storage:	Store at RT



Solvent & Solubility

In Vitro

≥51 mg/mL in DMSO; ≥2.65 mg/mL in EtOH with ultrasonic; ≥17.37 mg/mL in H2O with ultrasonic

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1mg	5mg	10mg
1 mM	2.7157 mL	13.5785 mL	27.1569 mL
5 mM	0.5431 mL	2.7157 mL	5.4314 mL
10 mM	0.2716 mL	1.3578 mL	2.7157 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary

SR 95531 Hydrobromide (CAS 104104-50-9) is a highly potent and selective competitive antagonist belonging to the GABA_A receptor antagonist class, functioning as a blocker of GABA-mediated neurotransmission in neuronal tissue and exhibiting inhibitory activity on GABA_A receptor-mediated signals in central nervous system preparations. Additionally, it prevents GABA binding to its ionotropic receptor, thereby inhibiting the associated chloride ion flux.

In various in vitro experimental models, SR 95531 Hydrobromide suppresses GABA-induced currents with an IC50 of approximately 0.2 μM, tested against primary neuronal cultures and recombinant cell lines

expressing GABA_A receptors. It can also inhibit spontaneous inhibitory postsynaptic currents and modulate synaptic inhibition at the cellular level, providing a valuable tool for dissecting inhibitory neurotransmission mechanisms.

In pharmacological and neurophysiological research applications, SR 95531 Hydrobromide is widely used for investigating GABAergic system function as well as for characterizing the involvement of GABA_A receptors in neural circuitry, synaptic plasticity, and seizure models. This compound aids in elucidating the physiological roles of inhibitory neurotransmission and is frequently employed in studies assessing the effects of GABA_A receptor antagonism in animal models and isolated tissue preparations.

IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	QT6 cells
Preparation method:	All experiments were performed at room temperature (21–23° C), and drugs were dissolved in external solution. Stock solutions of steroids were prepared in DMSO. The maximal concentration of DMSO in the final working solution was 0.2%.
Reacting conditions:	21–23° C
Applications:	Both bicuculline and Gabazine (SR 95531) have been characterized as competitive inhibitors of GABA binding to the GABAA receptor. Gabazine is more potent than bicuculline at blocking currents elicited by GABA, with an IC50 for currents elicited by 3 μM GABA of ~0.2 μM and a Hill coefficient of 1.0. Gabazine reduces the currents elicited by 10 μM alphaxalone by ~30%, for responses of receptors containing wildtype β 2 subunits. The concentration of Gabazine requires producing half the maximal block is ~0.2 μM. Gabazine also could only produce a partial block of currents gated by 300 μM pentobarbital. The maximal reduction, again, is ~30%, and the concentration of Gabazine required to produce half the maximal block is ~0.15 μM

In Vivo

Animal experiment

Animal models:	C57BL/6 mice
Dosage form:	10 mM; in 0.16 M NaCl [pH 4.0].
Applications:	In mice, Gabazine (10 mM in 0.16 M NaCl, pH 3.5) was microinjected via carbon fiber multibarrel electrodes (50/100 nA) into cerebellar lobules IV-VI (≤ 2 mm depth). It reduced neuronal responses to GABA, with +100 nA spreading farther than +50 nA. Effective spread was <250 mm, covering part of cerebellar layers.
Preparation method:	10 mM; in 0.16 M NaCl [pH 4.0]. Two injection currents were used, +50 nA and +100 nA.
Other notes:	The technical data provided above is for reference only.

Product Citations

See more customer validations on www.apexbt.com.

References

1. Ueno S, Bracamontes J, Zorumski C, Weiss DS, Steinbach JH. Bicuculline and gabazine are allosteric inhibitors of channel opening of the GABAA receptor. J Neurosci. 1997 Jan 15;17(2):625-34. doi: 10.1523/JNEUROSCI.17-02-00625.1997.

2.Blazquez PM, Yakusheva TA. GABA-A Inhibition Shapes the Spatial and Temporal Response Properties of Purkinje Cells in the Macaque Cerebellum. Cell Rep. 2015 May 19;11(7):1043-53. doi: 10.1016/j.celrep.2015.04.020. Epub 2015 May 7. PMID: 25959822; PMCID: PMC4439296.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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